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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 JUL 28 CA/CAPplus patent coverage enhanced
NEWS 3 JUL 28 EPFULL enhanced with additional legal status
information from the epline Register
NEWS 4 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5 JUL 28 STN Viewer performance improved
NEWS 6 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7 AUG 13 CA/CAPplus enhanced with printed Chemical Abstracts
page images from 1967-1998
NEWS 8 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 9 AUG 15 CAPplus currency for Korean patents enhanced
NEWS 10 AUG 27 CAS definition of basic patents expanded to ensure
comprehensive access to substance and sequence
information
NEWS 11 SEP 18 Support for STN Express, Versions 6.01 and earlier,
to be discontinued
NEWS 12 SEP 25 CA/CAPplus current-awareness alert options enhanced
to accommodate supplemental CAS indexing of
exemplified prophetic substances
NEWS 13 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
and Korean patents enhanced
NEWS 14 SEP 29 IFICLS enhanced with new super search field
NEWS 15 SEP 29 EMBASE and EMBAL enhanced with new search and
display fields
NEWS 16 SEP 30 CAS patent coverage enhanced to include exemplified
prophetic substances identified in new Japanese-
language patents
NEWS 17 OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 18 OCT 07 Multiple databases enhanced for more flexible patent
number searching
NEWS 19 OCT 22 Current-awareness alert (SDI) setup and editing
enhanced
NEWS 20 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
Applications
NEWS 21 OCT 24 CHEMLIST enhanced with intermediate list of
pre-registered REACH substances

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:40:28 ON 10 NOV 2008

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:40:55 ON 10 NOV 2008

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 NOV 2008 HIGHEST RN 1071762-23-6

DICTIONARY FILE UPDATES: 9 NOV 2008 HIGHEST RN 1071762-23-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

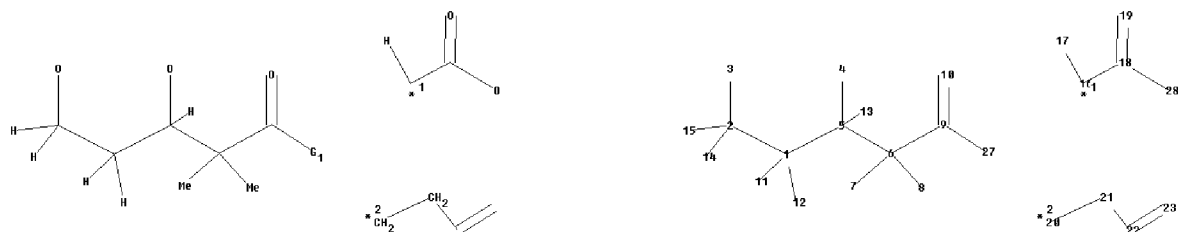
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10559389.str



```

chain nodes :
6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 27 28
ring/chain nodes :
1 2 3 4 5
chain bonds :
1-11 1-12 2-14 2-15 5-6 5-13 6-7 6-8 6-9 9-10 9-27 16-17 16-18 18-19
18-28 20-21 21-22 22-23
ring/chain bonds :
1-2 1-5 2-3 4-5
exact/norm bonds :
1-2 1-5 2-3 4-5 9-10 9-27 18-19 18-28
exact bonds :
1-11 1-12 2-14 2-15 5-6 5-13 6-7 6-8 6-9 16-17 16-18 20-21 21-22 22-23

```

```
G1:[*1],[*2]
```

```

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 27:CLASS 28:CLASS

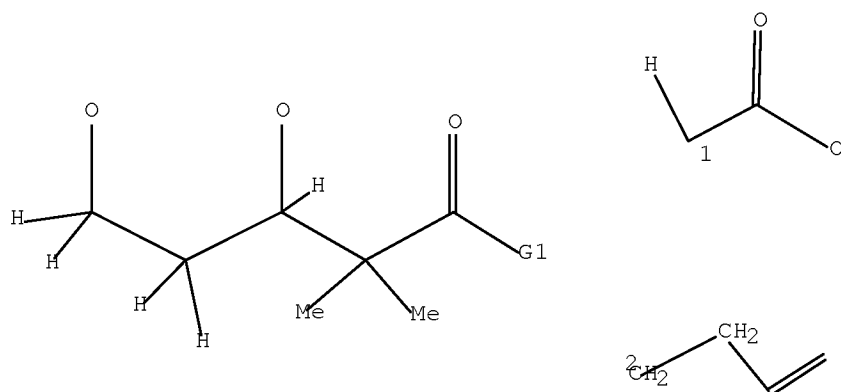
```

```
L1          STRUCTURE UPLOADED
```

```
=> d 11
```

```
L1 HAS NO ANSWERS
```

```
L1          STR
```



G1 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

=> s sss sam l1

SAMPLE SEARCH INITIATED 10:41:35 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1376 TO ITERATE

100.0% PROCESSED 1376 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

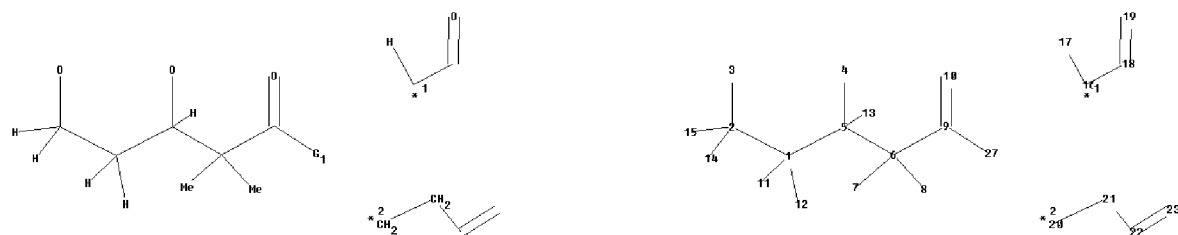
PROJECTED ITERATIONS: 25295 TO 29745

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>

Uploading C:\Program Files\STNEXP\Queries\10559389A.str



chain nodes :

```

6  7  8  9  10  11  12  13  14  15  16  17  18  19  20  21  22  23  27
ring/chain nodes :
1  2  3  4  5
chain bonds :
1-11  1-12  2-14  2-15  5-6  5-13  6-7  6-8  6-9  9-10  9-27  16-17  16-18  18-19
20-21  21-22  22-23
ring/chain bonds :
1-2  1-5  2-3  4-5
exact/norm bonds :
1-2  1-5  2-3  4-5  9-10  9-27  18-19
exact bonds :
1-11  1-12  2-14  2-15  5-6  5-13  6-7  6-8  6-9  16-17  16-18  20-21  21-22  22-23

```

G1:[*1],[*2]

```

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 27:CLASS

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L3 STRUCTURE UPLOADED

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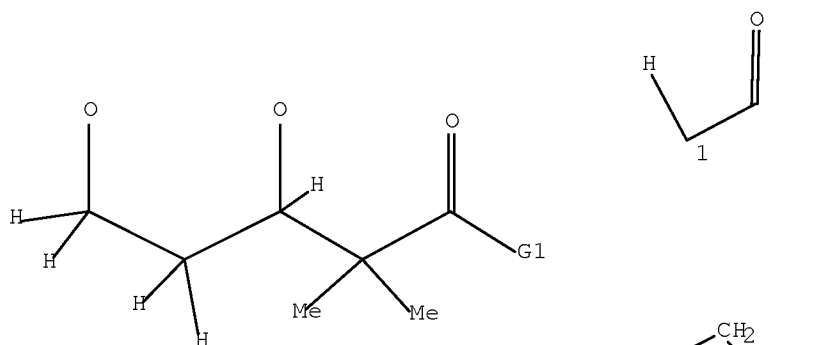
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L3 HAS NO ANSWERS
'LE ' IS NOT A VALID STRUCTURE FORMAT KEYWORD
ENTER STRUCTURE FORMAT (SIM), NOS:end

```

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=> d l3
L3 HAS NO ANSWERS
L3 STR

```



G1 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

```

=> s sss sam l3
SAMPLE SEARCH INITIATED 10:44:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2005 TO ITERATE

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99.8% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

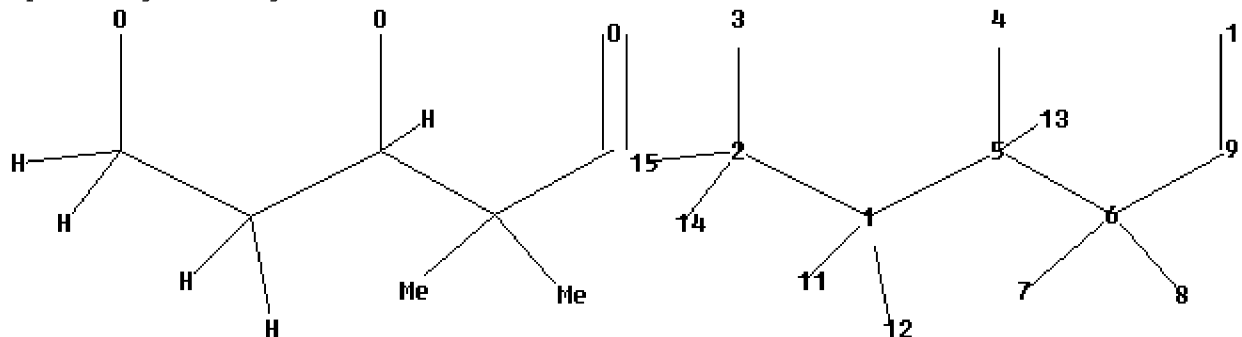
0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 37414 TO 42786
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=>

Uploading C:\Program Files\STNEXP\Queries\10559389B.str



chain nodes :
6 7 8 9 10 11 12 13 14 15
ring/chain nodes :
1 2 3 4 5
chain bonds :
1-11 1-12 2-14 2-15 5-6 5-13 6-7 6-8 6-9 9-10
ring/chain bonds :
1-2 1-5 2-3 4-5
exact/norm bonds :
1-2 1-5 2-3 4-5 9-10
exact bonds :
1-11 1-12 2-14 2-15 5-6 5-13 6-7 6-8 6-9

G1

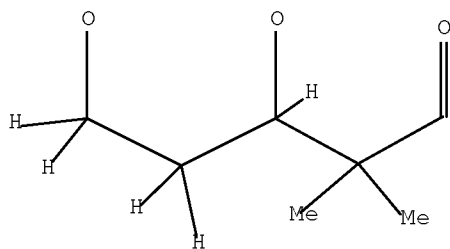
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS

L5 STRUCTURE UPLOADED

=> D L5

L5 HAS NO ANSWERS

L5 STR



G1

Structure attributes must be viewed using STN Express query preparation.

=> S SSS SAM L5

SAMPLE SEARCH INITIATED 10:45:55 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 12924 TO ITERATE

15.5% PROCESSED 2000 ITERATIONS

2 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 251668 TO 265292

PROJECTED ANSWERS: 43 TO 473

L6 2 SEA SSS SAM L5

=> D SCAN

L6 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

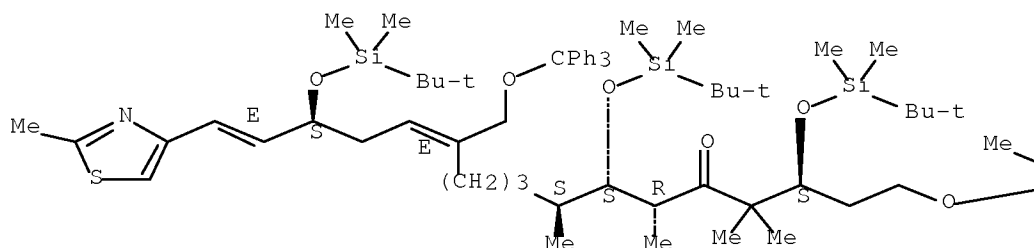
IN 12,16-Heptadecadien-5-one, 1,3,7,15-tetrakis[[[1,1-dimethylethyl)dimethylsilyl]oxy]-4,4,6,8-tetramethyl-17-(2-methyl-4-thiazolyl)-12-[(triphenylmethoxy)methyl]-, (3S,6R,7S,8S,12E,15S,16E)-

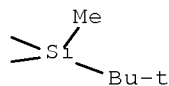
MF C69 H113 N O6 S Si4

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.

PAGE 1-A



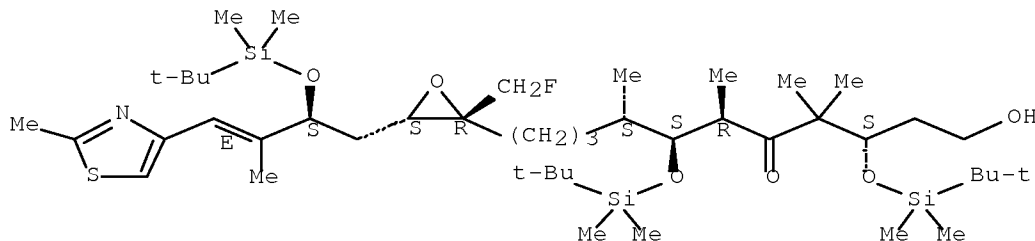


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L6 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN 5-Undecanone, 3,7-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-11-[(2R,3S)-3-
 [(2S,3E)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-methyl-4-(2-methyl-4-
 thiazolyl)-3-buten-1-yl]-2-(fluoromethyl)-2-oxiranyl]-1-hydroxy-4,4,6,8-
 tetramethyl-, (3S,6R,7S,8S)-
 MF C45 H86 F N O6 S Si3

Absolute stereochemistry.
 Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s sss FULL l1
 FULL SEARCH INITIATED 10:47:48 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 27721 TO ITERATE

100.0% PROCESSED 27721 ITERATIONS
 SEARCH TIME: 00.00.01

20 ANSWERS

L7 20 SEA SSS FUL L1

=> SAVE TEMP WEST10559389/A
 ENTER L#, L# RANGE, ALL, OR (END):END

=> SAVE TEMP WEST10559389/A L7
ANSWER SET L7 HAS BEEN SAVED AS 'WEST10559389/A'

=> FIL CAPLUS
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
183.88	184.09

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:48:41 ON 10 NOV 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 10 Nov 2008 VOL 149 ISS 20
FILE LAST UPDATED: 9 Nov 2008 (20081109/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

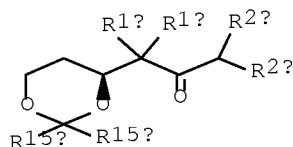
=> S L7
L8 8 L7

=> S L8 AND (AY<2003 OR PY<2003 OR PRY<2003)
4499665 AY<2003
22959179 PY<2003
3967969 PRY<2003
L9 4 L8 AND (AY<2003 OR PY<2003 OR PRY<2003)

=> D IBIB ABS HITSTR 1-4 L9

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:511314 CAPLUS Full-text
DOCUMENT NUMBER: 139:85166
TITLE: Method for producing C1-C6 fragments of epothilones and the derivatives thereof
INVENTOR(S): Klar, Ulrich; Berger, Markus; Buchmann, Bernd; Schwede, Wolfgang; Skuballa, Werner
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053949	A1	20030703	WO 2002-EP14758	20021223 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10164592	A1	20030703	DE 2001-10164592	20011221 <--
AU 2002356783	A1	20030709	AU 2002-356783	20021223 <--
US 20030176710	A1	20030918	US 2002-326263	20021223 <--
PRIORITY APPLN. INFO.:			DE 2001-10164592	A 20011221 <--
			WO 2002-EP14758	W 20021223 <--
OTHER SOURCE(S):			CASREACT 139:85166; MARPAT 139:85166	
GI				



I

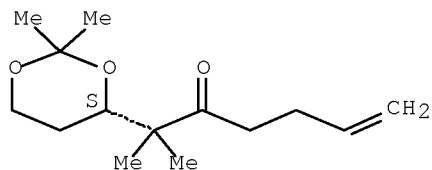
AB The invention relates to C1-C6 fragments I [R1a, R1b = H, C1-10-alkyl, aryl, C7-20-aralkyl, (CH2)m; m = 2 - 5; R2a, R2b = H, C1-10-alkyl, C1-10-alkenyl, C1-10-alkynyl, C7-20-aralkyl, (CH2)n; n = 2 - 5; R15a, R15b = H, C1-10-alkyl, aryl, C7-20-aralkyl, (CH2)q; q = 3 - 6] of epothilones and to an efficient method for producing such fragments and the derivs. thereof. Thus, (4S)-4-(2-methyl-3-oxohept-6-en-2-yl)-2,2-dimethyl-1,3-dioxane [I; R1a = R1b = Me, R2a = CH2CH:CH2, R2b = H, R15a = R15b = Me] was prepared from (3S)-1-hydroxy-2,2-dimethyl-3-(tetrahydropyranyloxy)-4-pentene, (S)-HOCH2CMe2CH(OTHP)CH:CH2, via O-benzoylation with PhCH2Br, hydroboration with BH3-THF complex, dehydrotetrahydropyranylation-isopropylidenation with Me2C(OMe)2 in MeCOMe containing catalytic tosyl acid, hydrogenolytic debenzoylation, Swern oxidation, Grignard reaction with MeMgBr, oxidn, with TPAT in CH2Cl2 contg, N0methylmorpholine N-oxide and alkylation with allyl bromide.

IT 305840-13-5P 552313-46-9P 552313-55-0P
 552313-56-1P 552313-65-2P 552313-66-3P
 552313-76-5P 552313-77-6P 552313-87-8P
 552313-88-9P 552313-98-1P 552313-99-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of C1-C6 fragments of epothilones and their derivs.)

RN 305840-13-5 CAPLUS

CN 6-Hepten-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

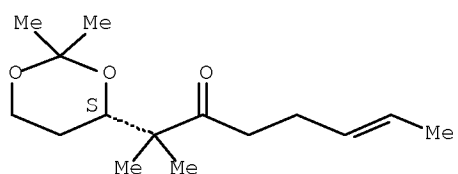
Absolute stereochemistry. Rotation (+).



RN 552313-46-9 CAPLUS

CN 6-Octen-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

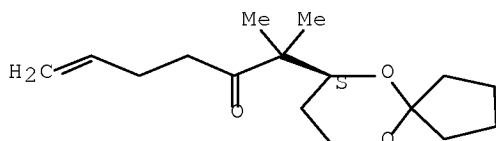
Absolute stereochemistry.
Double bond geometry unknown.



RN 552313-55-0 CAPLUS

CN 6-Hepten-3-one, 2-(7S)-6,10-dioxaspiro[4.5]dec-7-yl-2-methyl- (CA INDEX NAME)

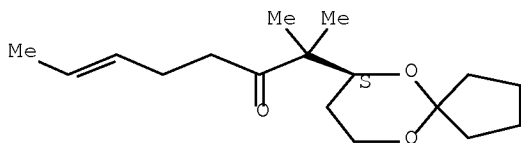
Absolute stereochemistry.



RN 552313-56-1 CAPLUS

CN 6-Octen-3-one, 2-(7S)-6,10-dioxaspiro[4.5]dec-7-yl-2-methyl- (CA INDEX NAME)

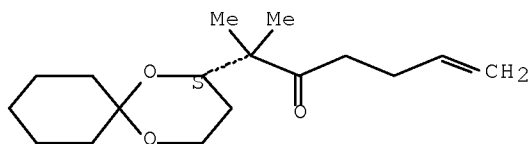
Absolute stereochemistry.
Double bond geometry unknown.



RN 552313-65-2 CAPLUS

CN 6-Hepten-3-one, 2-(2S)-1,5-dioxaspiro[5.5]undec-2-yl-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

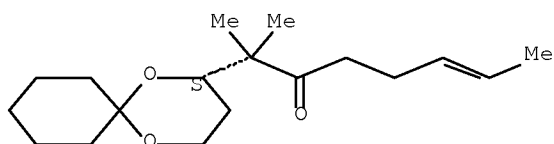


RN 552313-66-3 CAPLUS

CN 6-Octen-3-one, 2-(2S)-1,5-dioxaspiro[5.5]undec-2-yl-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

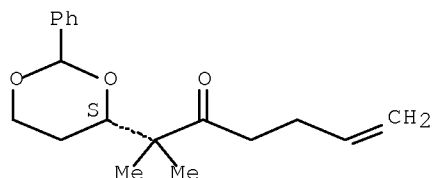
Double bond geometry unknown.



RN 552313-76-5 CAPLUS

CN 6-Hepten-3-one, 2-methyl-2-[(4S)-2-phenyl-1,3-dioxan-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

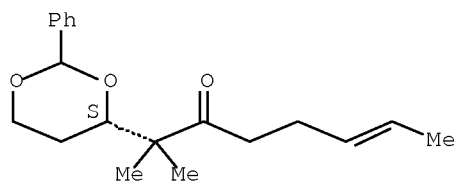


RN 552313-77-6 CAPLUS

CN 6-Octen-3-one, 2-methyl-2-[(4S)-2-phenyl-1,3-dioxan-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

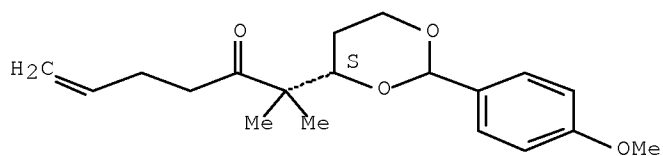
Double bond geometry unknown.



RN 552313-87-8 CAPLUS

CN 6-Hepten-3-one, 2-[(4S)-2-(4-methoxyphenyl)-1,3-dioxan-4-yl]-2-methyl-
(CA INDEX NAME)

Absolute stereochemistry.

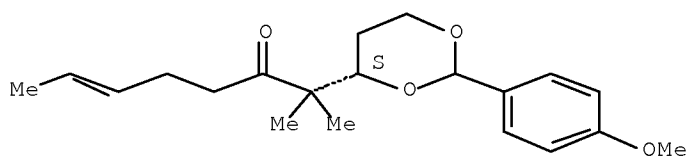


RN 552313-88-9 CAPLUS

CN 6-Octen-3-one, 2-[(4S)-2-(4-methoxyphenyl)-1,3-dioxan-4-yl]-2-methyl- (CA
INDEX NAME)

Absolute stereochemistry.

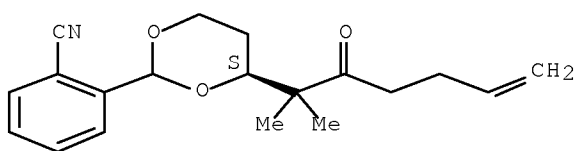
Double bond geometry unknown.



RN 552313-98-1 CAPLUS

CN Benzonitrile, 2-[(4S)-4-(1,1-dimethyl-2-oxo-5-hexen-1-yl)-1,3-dioxan-2-yl]-
(CA INDEX NAME)

Absolute stereochemistry.

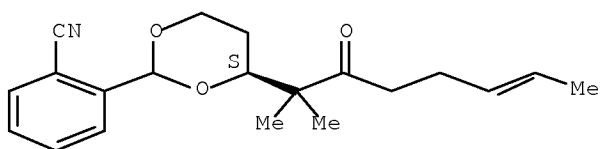


RN 552313-99-2 CAPLUS

CN Benzonitrile, 2-[(4S)-4-(1,1-dimethyl-2-oxo-5-hepten-1-yl)-1,3-dioxan-2-
yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:133222 CAPLUS Full-text
 DOCUMENT NUMBER: 138:187562
 TITLE: Preparation of protected

3,5-dihydroxy-2,2-dimethyl-valeroamides as
 intermediates for the synthesis of epothilones and
 derivatives

INVENTOR(S): Westermann, Juergen; Petrov, Orlin; Platzek, Johannes
 PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 51 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

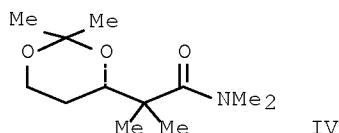
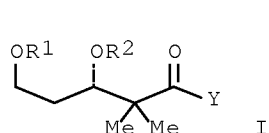
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014063	A2	20030220	WO 2002-EP8726	20020805 <--
WO 2003014063	A3	20030501		
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CA 2456255	A1	20030220	CA 2002-2456255	20020805 <--
AU 2002340805	A1	20030224	AU 2002-340805	20020805 <--
US 20030158412	A1	20030821	US 2002-211242	20020805 <--
US 6933385	B2	20050823		
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CN 1538952	A	20041020	CN 2002-815237	20020805 <--
JP 2004537589	T	20041216	JP 2003-519015	20020805 <--
CN 1807403	A	20060726	CN 2005-10076459	20020805 <--
MX 2004PA00954	A	20040420	MX 2004-PA954	20040130 <--
IN 2004DN00467	A	20060310	IN 2004-DN467	20040226 <--
NO 2004000912	A	20040302	NO 2004-912	20040302 <--
ZA 2004001727	A	20050412	ZA 2004-1727	20040302 <--
US 20050272731	A1	20051208	US 2005-149331	20050610 <--
US 7368568	B2	20080506		
US 20080161580	A1	20080703	US 2008-43401	20080306 <--
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			CN 2002-815237	A3 20020805 <--
			US 2002-211242	A3 20020805 <--
			WO 2002-EP8726	W 20020805 <--
			US 2005-149331	A3 20050610

OTHER SOURCE(S): MARPAT 138:187562
 GI



AB The present invention discloses preparation of novel protected 3,5-dihydroxy-2,2-dimethyl-valeroamide derivs., such as I [R1, R2 = benzyl, 4-methoxybenzyl, 3,4-dimethoxybenzyl, THP, TBDMS, TMS, TES, TIP, TBDPS, MEM, MOM, allyl, trityl; R1R2 = ketal; Y = NAlA2; A1, A2 = alkyl, aryl, benzyl, OH, OMe, O-benzyl, heterocyclyl], and intermediates thereof for the synthesis of epothilones and epothilone derivs. Thus, 1-dimethylamino-2-methyl-1-trimethylsilyl-propene (obtained by the reaction of N,N,2-trimethyl-propionamide and trimethylsilyl chloride), was reacted with 3-(benzyloxy)-1-propanal to provide N,N-dimethyl-5-benzyloxy-2,2-dimethyl-3-hydroxypentanamide, which on oxidation afforded N,N-dimethyl-5-benzyloxy-2,2-dimethyl-3-oxo-pentanamide (II). II, on catalytic reduction in presence of RuCl2 and S-BiNAP, afforded I (R1 = CH2Ph; R2 = H; Y = NMe2), which was deprotected to afford I [R1, R2 = H; Y = NMe2 (III)]. III was reacted with acetone-dimethylketal to afford 3,5-dihydroxy-2,2-dimethyl-valeroamide derivative (IV).

IT 305840-13-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of protected 3,5-dihydroxy-2,2-dimethyl-valeroamide derivs.

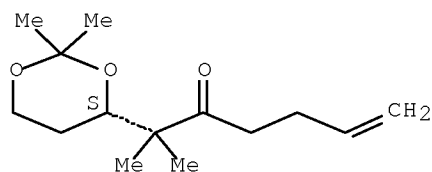
and

intermediates thereof in preparation of epothilones and epothilone derivs.)

RN 305840-13-5 CAPLUS

CN 6-Hepten-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:157050 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:216592

TITLE: Procedures for the production of 12,13-cyclopropylepothilone derivatives, as well as for their use in pharmaceutical preparations

PATENT ASSIGNEE(S): Schering Ag, Germany

SOURCE: Ger. Offen., 64 pp.

CODEN: GWXXBX

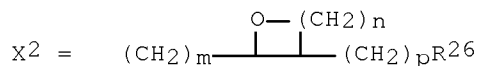
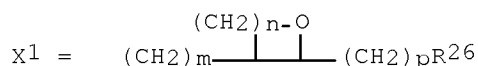
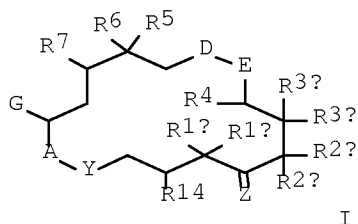
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 10041470	A1	20020228	DE 2000-10041470	20000818 <--
PRIORITY APPLN. INFO.:			DE 2000-10041470	20000818 <--
OTHER SOURCE(S):	CASREACT 136:216592; MARPAT 136:216592			
GI				



AB The present invention describes new 6-alkenyl- and 6-alkynylepothilone derivs., e.g., I [R1a, R1b = H, C1-10-alkyl, aryl, C7-20-aralkyl; R1aR1b = (CH2)r, CH2OCH2; r = 1 - 5; R2a = H, C1-10-alkyl, aryl, C7-20-aralkyl, (CH2)m-C.tplbond.C-(CH2)pR26, (CH2)m-C:C-(CH2)pR26, X1, X2; n = 0 - 5; p = 0 - 3; m = 0 - 4; R2b = (CH2)m-C.tplbond.C-(CH2)pR26, (CH2)m-C:C-(CH2)pR26, X1, X2; R3a = H, C1-10-alkyl, aryl, C7-20-aralkyl; R3b = O-protecting group; R4 = H, C1-10-alkyl, aryl, C7-20-aralkyl, halogen, OH, O-protecting group, CN; R5 = H, C1-10-alkyl, aryl, C7-20-aralkyl, (CH2)s-T; S = 1 - 4; T = OH, O-protecting group, halogen; R6R7 = C(R33)2, NR32 AY = OC(:O), OCH2, CH2C(:O), NR29C(:O), NR29SO2; DE = CH2CH2, CH2O, OCH2; G = X:CR8-, bicyclic or tricyclic aryl; X = O, (O-alkyl)2, etc.; Z = H, H,OH, H,O-protective group; R8 = H, halogen, CN, C1-20-alkyl, aryl, C7-20-aralkyl; R14 = H, OH, halogen, O-SO2-alkyl, O-SO2-aryl, O-SO2-aralkyl; R26 = H, C1-10-alkyl, aryl, C7-20-aralkyl, C1-10-acyl, OH, O-protecting group; R29 = H, C1-20-alkyl; R32 = H, C1-4-alkyl, C1-4-acyl; R33 = H, halogen], which interact with tubulins by stabilizing the formed microtubulins (no data). I are able specifically to affect cell division and are suitable, for example for the treatment of malignant tumors ovarial -, stomach -, colon -, adeno -, chest -, lungs -, head and neck carcinoma, malignant melanoma, acute lymphocytic and myelocytic leukemia. In addition I are suitable for the anti-angiogenesis therapy as well as for the treatment of chronic ignitable illnesses (psoriasis, arthritis). For the avoidance of uncontrolled cell rampant growths on as well as the better compatibility of medical implants I can be up and/or brought into polymers materials. According to invention, I can be used alone or for the achievement of additive or synergistic effects in combination with further principles and substance classes applicable in the tumor therapy. Exptl. data from patents PCT/EP00/01333 and PCT/IB00/00657 are reproduced here.

IT 305840-13-5P

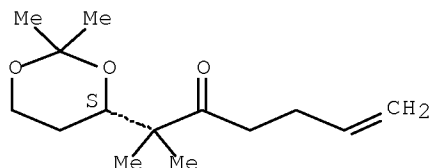
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 12,13-cyclopropylepothilone derivs. and their use in pharmaceutical compns.)

RN 305840-13-5 CAPLUS

CN 6-Hepten-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:790507 CAPLUS Full-text

DOCUMENT NUMBER: 133:362656

TITLE: Preparation of 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilone derivatives and their antitumor activity

INVENTOR(S): Klar, Ulrich; Schwede, Wolfgang; Skuballa, Werner; Buchmann, Bernd; Hoffmann, Jens; Lichtner, Rosemarie

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 298 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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WO 2000066589	A1	20001109	WO 2000-IB657	20000501 <--
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DE 19954228	A1	20010913	DE 1999-19954228	19991104 <--
DE 10015836	A1	20011011	DE 2000-10015836	20000327 <--
CA 2371226	A1	20001109	CA 2000-2371226	20000501 <--
BR 2000010190	A	20020108	BR 2000-10190	20000501 <--
EP 1173441	A1	20020123	EP 2000-922826	20000501 <--
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JP 2002543203	T	20021217	JP 2000-615619	20000501 <--
JP 4024003	B2	20071219		
EE 200100568	A	20030217	EE 2001-568	20000501 <--
NZ 514989	A	20040227	NZ 2000-514989	20000501 <--

AU 772750	B2	20040506	AU 2000-43103	20000501 <--
IN 2001MN01305	A	20070504	IN 2001-MN1305	20011019 <--
BG 106053	A	20020531	BG 2001-106053	20011026 <--
NO 2001005278	A	20011221	NO 2001-5278	20011029 <--
MX 2001PA11039	A	20030630	MX 2001-PA11039	20011030 <--
US 7125893	B1	20061024	US 2002-979939	20020606 <--
IN 2005MN00837	A	20070608	IN 2005-MN837	20050802 <--
US 20060046997	A1	20060302	US 2005-214988	20050831 <--
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			DE 1999-19954228	A1 19991104 <--
			DE 2000-10015836	A1 20000327 <--
			DE 2000-10013363	A 20000309 <--
			WO 2000-IB657	W 20000501 <--
			IN 2001-MN1305	A3 20011019 <--
			US 2002-979939	A3 20020606 <--
OTHER SOURCE(S):	MARPAT 133:362656			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The antitumor agents, 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilones I (R1a, R1b are same or different = H, C1-C10 alkyl, C6-C12 aryl, C7-C20 aralkyl each optionally substituted; or together = (CH₂)_m m = 1-5 or -CH₂OCH₂-; R2a(R2b replace a with b) = H, substituted alkyl, aryl, aralkyl, (CH₂)_{ra}-C.tplbond.(or =)C-(CH₂)_{pa}-R26a, Q, Q1 where n = 0-5; ra, rb = the same or different and = 0-4; pa, pb = the same or different and = 0-3; R3a = H, substituted alkyl, aryl or aralkyl; R3b = OH, OPG14; R14 = H, OR14a, halogen and R14a = H, SO₂-alkyl, SO₂-aryl or SO₂-aralkyl; R4 = H, substituted alkyl, aryl or aralkyl, halogen, OR25, CN; R26a, R26b = same or different = H, substituted alkyl, aryl or aralkyl, C1-C10 acyl or if pa or pb > 0, addnl. a group OR27; R25 = R27 = R22 = H, PG; R5 = H, substituted alkyl, aryl or aralkyl, (CH₂)_s s = 1-4, T = OR22 or halogen; R6, R7 = H or together = bond or O; G = X=CR8 or bi- or tricyclic aryl radical and R8 = H, halogen, CN, or substituted alkyl, aryl or aralkyl; X = O, two OR23 groups, C2-C10-alkylene- α,ω -dioxy straight chain or branched; H/OR9 or CR10R11 group and R23 = alkyl radical, R9 = H, PG, R10,R11 = same or different = H, substituted alkyl, aryl or aralkyl, or together with the methylene are a 5-7 carbocyclic ring; D-E = CH₂CH₂ or OCH₂; A = OC(O), OCH₂, CH₂C(O), NR₂₉C(O), NR₂₉SO₂ and R29 = H, alkyl; Z = O or H/OR12 and R12 = H, PG) were prepared. Thus II was prepared in a multistep synthesis starting from (4S)-4-(2-methyl-1-oxoprop-2-yl)-2,2-dimethyl[1,3]dioxane and 5-trimethylsilylpent-4-in-1-yl magnesium bromide. II had an IC₅₀ value [nM] of 3.0 for the growth inhibition of human MCF-7 breast- and 75 for multidrug resistant NCI/ADR carcinoma cell lines with a selectivity of 2.5. The new epothilone derivs. interact with tubulin by stabilizing microtubuli that are formed. They are able to influence the cell-splitting in a phase-specific manner and are therefore useful in treating diseases or conditions associated with the need for cell growth, division and/or proliferation. Thus the epothilone derivs. are suitable for treating malignant tumors, e.g., ovarian, stomach, colon, adeno-, breast, lung, head and neck carcinomas, malignant melanoma, acute lymphocytic and myelocytic leukemia; and for anti-angiogenesis therapy as well as for treatment of chronic inflammatory diseases (such as psoriasis, arthritis).

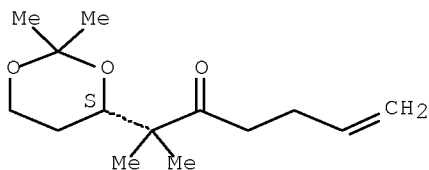
IT 305840-13-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilone derivs. and their use in pharmaceutical preps.)

RN 305840-13-5 CAPLUS
CN 6-Hepten-3-one, 2-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-2-methyl- (CA INDEX
NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

34.40

218.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

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-3.20

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